

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	709	(514/252.13,514/255.01,514/255.05,544/358,544/360,544/367,544/372,544/374,544/386).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/04/19 18:20
L2	0	l1 and piperazinylacetyl piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L3	99	l1 and piperazinyl and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L4	0	l1 and piperazinyl piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L5	0	l1 and piperazinylacetyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:22
L6	150	l1 and piperazine and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:22
L7	1	l1 and piperazine and acyl and piperidine and ketone and 1,3-thiazol-2-yl	US-PGPUB; USPAT	OR	ON	2007/04/19 18:26
L8	1	l1 and piperazine and piperidine and 1,3-thiazol-2-yl	US-PGPUB; USPAT	OR	ON	2007/04/19 18:26

~~20/513688~~

10/516,808

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NEWS 3 JAN 16 CA/CAPplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPplus updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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10/513699

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:03:46 ON 19 APR 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:04:22 ON 19 APR 2007

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STRUCTURE FILE UPDATES: 18 APR 2007 HIGHEST RN 930838-51-0

DICTIONARY FILE UPDATES: 18 APR 2007 HIGHEST RN 930838-51-0

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

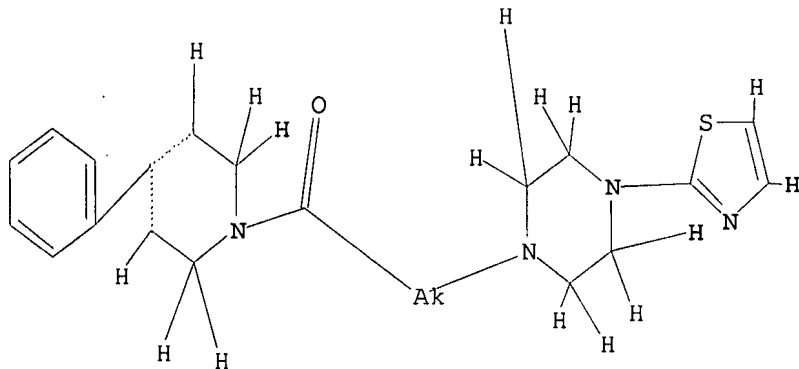
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



10/513699

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:04:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:04:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 176 TO ITERATE

100.0% PROCESSED 176 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L3 15 SEA SSS FUL L1

=> file capls

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SESSION CONTINUES IN FILE 'REGISTRY'

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=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 17:04:59 ON 19 APR 2007

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FILE COVERS 1907 - 19 Apr 2007 VOL 146 ISS 17

FILE LAST UPDATED: 18 Apr 2007 (20070418/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

<12/04/2007>

Erich Leese

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=> s l3 full

L4 1 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:991507 CAPLUS

DOCUMENT NUMBER: 140:42206

TITLE: Preparation of piperazinyllacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Bono, Francoise; Bosch, Michael; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

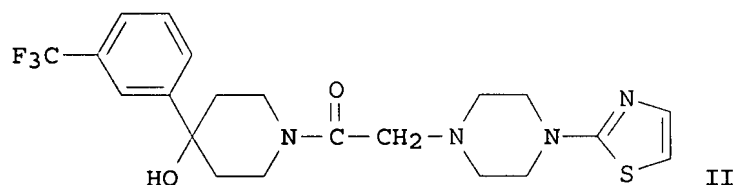
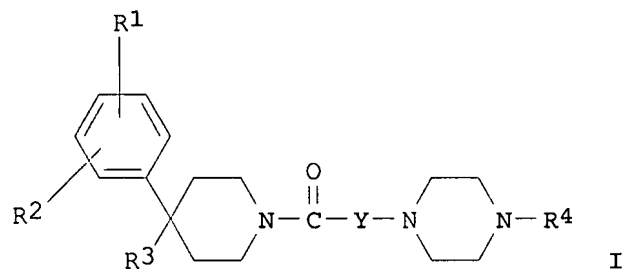
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-3757109	20030605
US 2006167007	A1	20060727	US 2004-516808	20041203
PRIORITY APPLN. INFO.:			FR 2002-7001	A 20020607
			WO 2003-FR1686	W 20030605
OTHER SOURCE(S):	MARPAT 140:42206			
GI				



AB Title compds. I [wherein: Y = (CH₂)_n; n = 1 or 2; R₁ = halo, CF₃, alkyl, alkoxy, trifluoromethoxy; R₂ = H, halo; R₃ = H, OR₅, CH₂OR₅, NH₂ and derivs., NHCOR₆ and derivs., NHCONH₂ and derivs., CH₂NR₇R₈, CH₂NHCONH₂ and derivs., alkoxy carbonyl, CONH₂ and derivs.; or R₃ forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R₄ = 1,3-thiazol-2-yl; R₅ = H, alkyl, alkylcarbonyl; R₆ = alkyl, (CH₂)_mNH₂ and derivs.; m = 1, 2, or 3; R₇, R₈ = independently H, alkyl; R₈ = (CH₂)_qOH, (CH₂)_qSMe; q = 2 or 3; or R₇R₈N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K₂CO₃/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the cellular level.

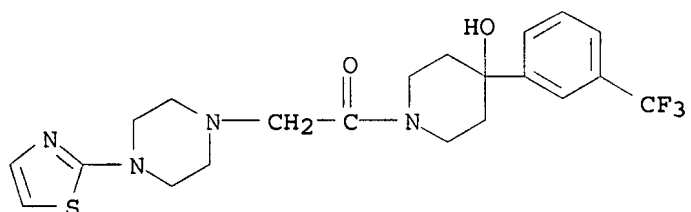
IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

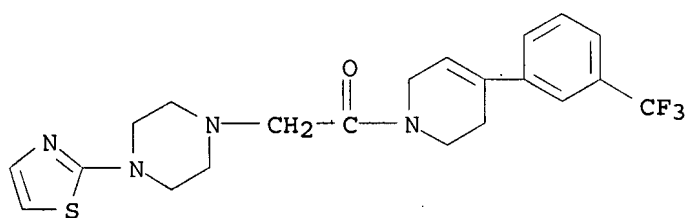
RN 634613-42-6 CAPLUS

CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



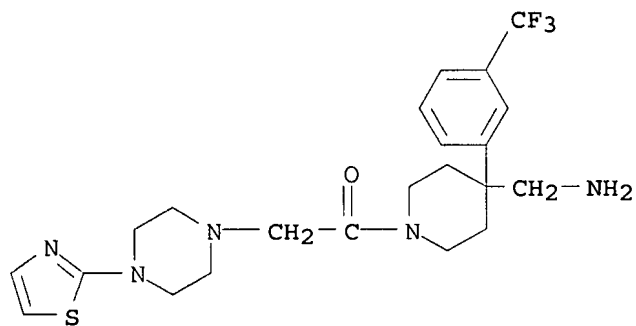
RN 634613-43-7 CAPLUS

CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-45-9 CAPLUS

CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

IT 634613-37-9P 634613-38-0P 634613-39-1P

634613-40-4P 634613-41-5P 634613-44-8P,

2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P,

1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-

2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P,

1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-

[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

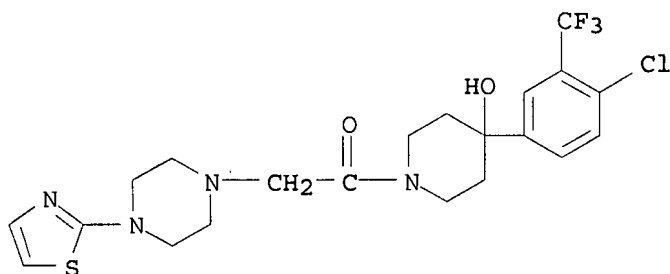
10/513699

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(NGF binding inhibitor; preparation of piperazinylacetyl piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

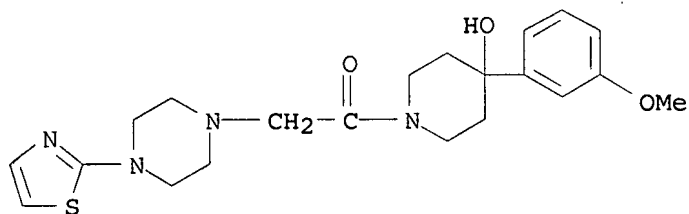
RN 634613-37-9 CAPLUS

CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



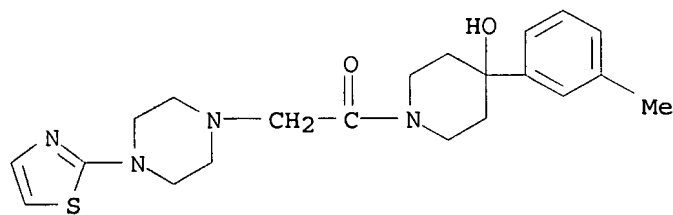
RN 634613-38-0 CAPLUS

CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-39-1 CAPLUS

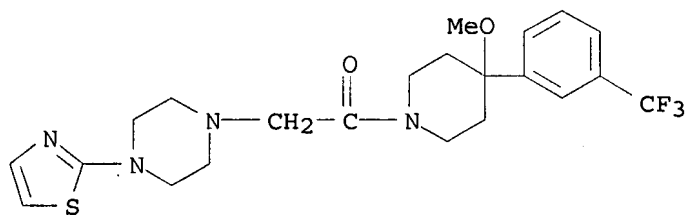
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-40-4 CAPLUS

CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

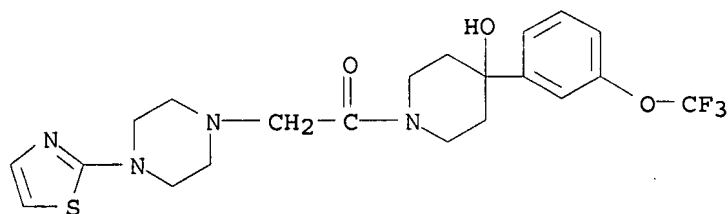
10/513699



● HCl

RN 634613-41-5 CAPLUS

CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



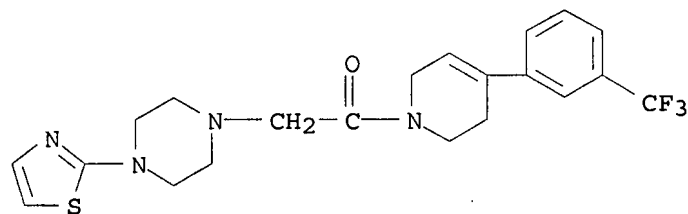
RN 634613-44-8 CAPLUS

CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 634613-43-7

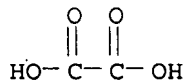
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CM 2

CRN 144-62-7

CMF C2 H2 O4



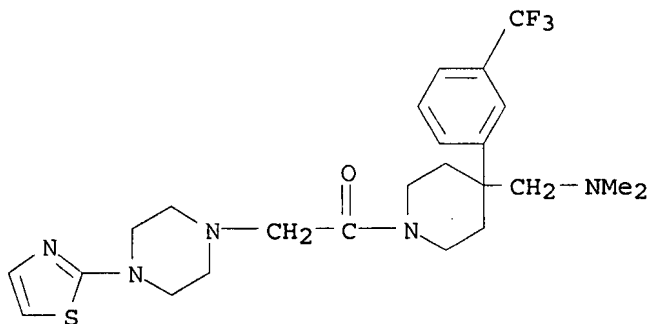
<12/04/2007>

Erich Leese

10/513699

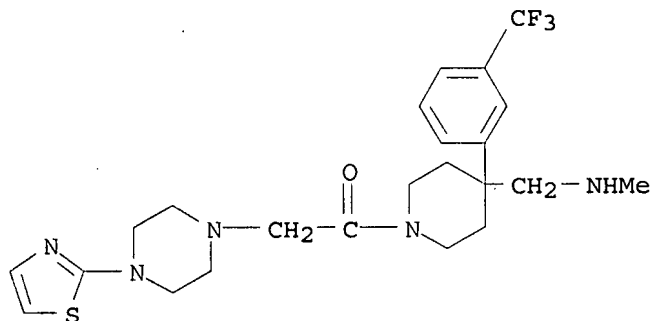
RN 634613-47-1 CAPLUS

CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-48-2 CAPLUS

CN 4-Piperidinemethanamine, N-methyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

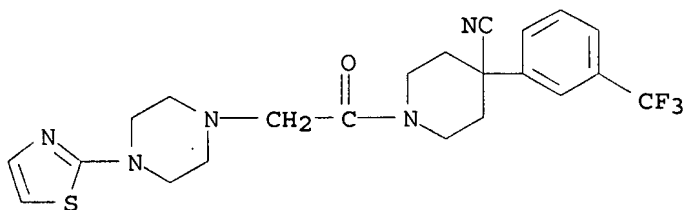


IT 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-46-0 CAPLUS

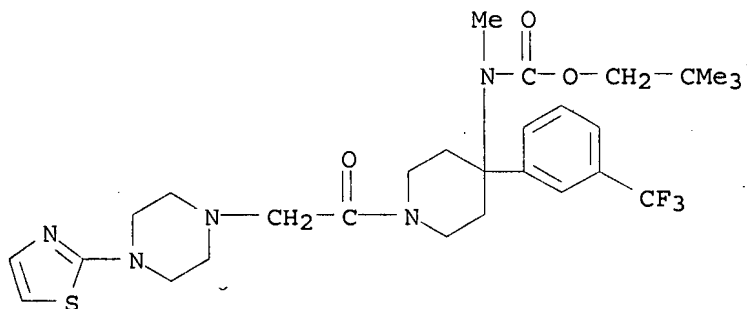
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



10/513699

RN 634613-49-3 CAPLUS

CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI)
(CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT